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 L1 HAS NO ANSWERS
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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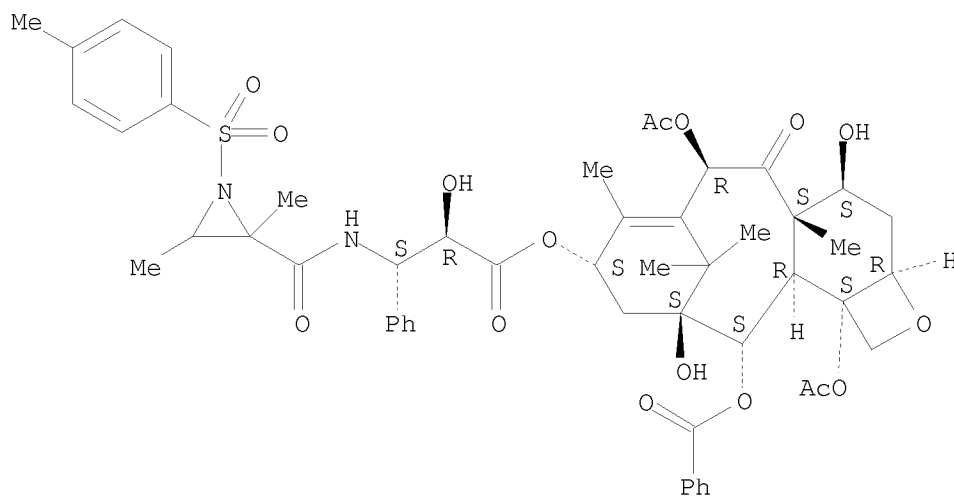
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L2 1 SEA SSS FUL L1

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L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
 RN 863203-44-5 REGISTRY
 ED Entered STN: 15 Sep 2005
 CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (α R, β S)- (CA INDEX NAME)
 FS STEREOSEARCH
 MF C52 H60 N2 O16 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
 COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.36	180.57

FILE 'CAPLUS' ENTERED AT 11:49:32 ON 13 SEP 2008
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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12
 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

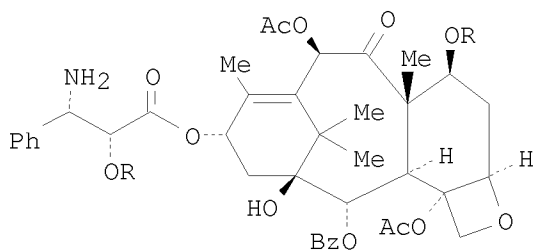
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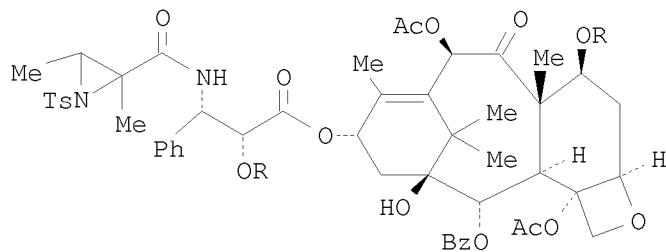
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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:979631 CAPLUS <<LOGINID::20080913>>
 DN 143:267122
 TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
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OS	CASREACT 143:267122; MARPAT 143:267122				
GI					



I



II

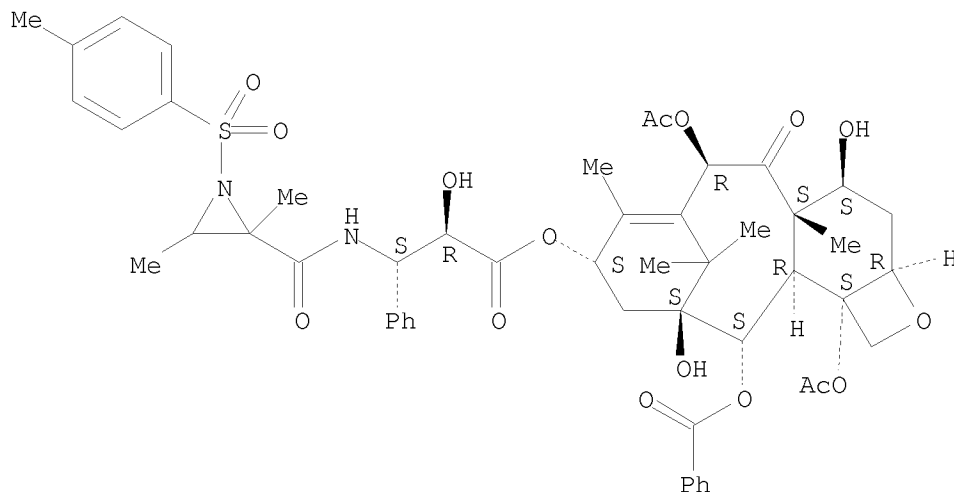
AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysis of the side chain.

IT 863203-44-5DP, 7,2'-O-derivs.
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and basic hydrolysis of; semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS

CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (CA INDEX NAME)

Absolute stereochemistry.



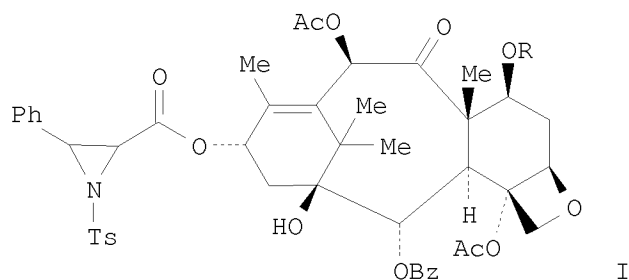
L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:963841 CAPLUS <<LOGINID::20080913>>
 DN 143:248536
 TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.
 SO U.S. Pat. Appl. Publ., 22 pp.
 CODEN: USXXCO

DT Patent
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050192445	A1	20050901	US 2004-790622	20040301
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	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
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	EP 1732911	A2	20061220	EP 2005-723708	20050224
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
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	US 20080033189	A1	20080207	US 2007-590647	20070720
PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:248536; MARPAT 143:248536				
GI					



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

IT 863203-44-5DP, protected

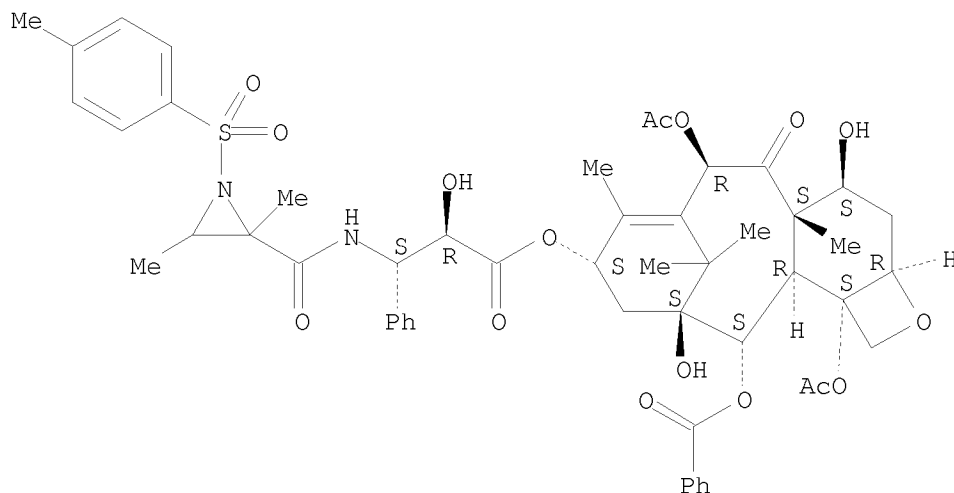
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS

CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (CA INDEX NAME)

Absolute stereochemistry.



=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

11.86	192.43
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

-1.60	-1.60
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STRUCTURE FILE UPDATES: 12 SEP 2008 HIGHEST RN 1049105-01-2

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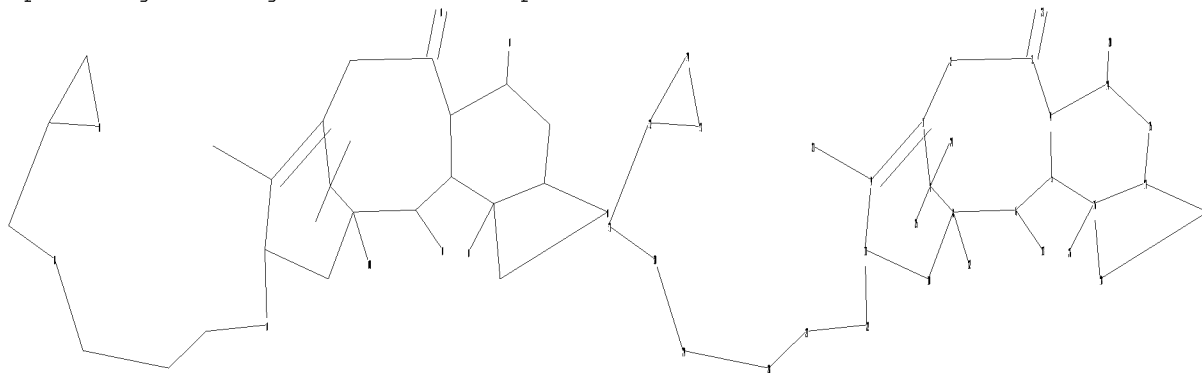
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :
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ring bonds :
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exact/norm bonds :
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exact bonds :
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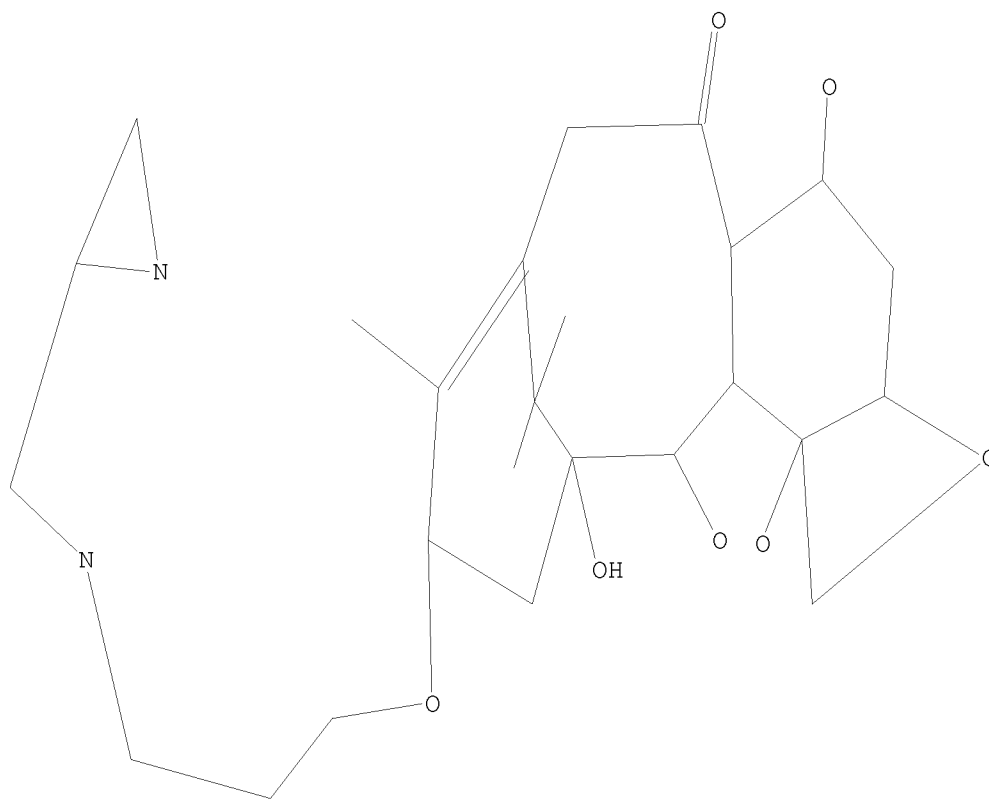
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L4 STRUCTURE UPLOADED

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L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 48 TO ITERATE

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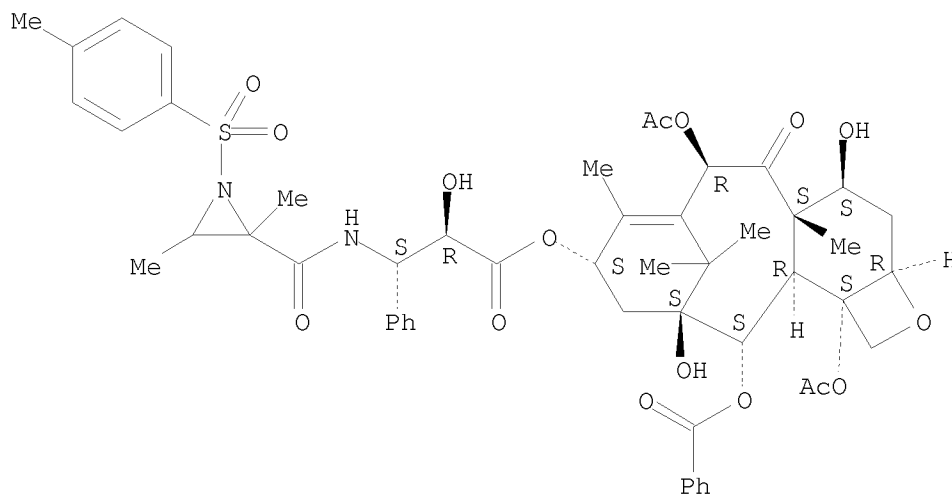
1 ANSWERS

L5 1 SEA SSS FUL L4

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L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
 RN 863203-44-5 REGISTRY
 ED Entered STN: 15 Sep 2005
 CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (α R, β S)- (CA INDEX NAME)
 FS STEREOSEARCH
 MF C52 H60 N2 O16 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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373.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

	ENTRY	SESSION
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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12
 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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=> s 15

L6 2 L5

=> d 1-2 bib abs

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:979631 CAPLUS <<LOGINID::20080913>>
 DN 143:267122
 TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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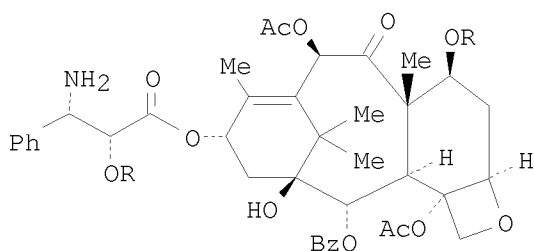
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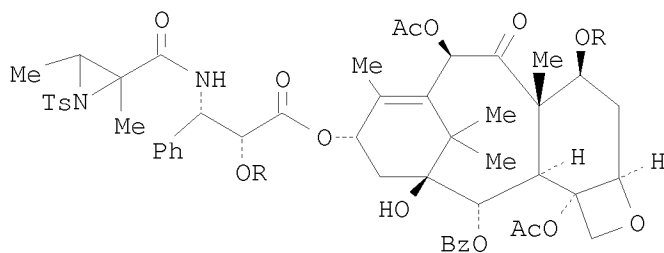
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OS CASREACT 143:267122; MARPAT 143:267122

GI



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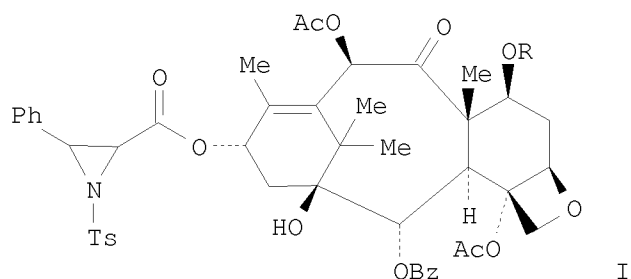
II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-

(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:963841 CAPLUS <<LOGINID::20080913>>
 DN 143:248536
 TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.
 SO U.S. Pat. Appl. Publ., 22 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050192445	A1	20050901	US 2004-790622	20040301
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OS	CASREACT 143:248536; MARPAT 143:248536				
GI					



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

=> s taxane and aziridine

3442 TAXANE

9474 AZIRIDINE

L7 6 TAXANE AND AZIRIDINE

=> d 1-6 bib abs hitstr

L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1053651 CAPLUS <<LOGINID::20080913>>

DN 147:378345

TI Anticancer activity augmentation dithio compounds, formulations, and methods of use

IN Hausheer, Frederick H.

PA Bionumerik Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 35pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070219268	A1	20070920	US 2007-724933	20070316
	WO 2007109184	A2	20070927	WO 2007-US6725	20070316
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW:				
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PRAI	US 2006-782826P	P	20060316		

AB The field of the invention comprises pharmaceuticals and pharmaceutical treatments, including e.g. (i) compds. and formulations which cause the augmentation of anticancer activity (i.e., by enhancement of the lethal cytotoxic action in stimulatory [inducing oxidative stress] and/or depletive [decreasing antioxidative capacity] manner) of chemotherapeutic agents, in a selective manner; (ii) methods for administering the anticancer augmentation compds. and formulations; (iii) delivery devices containing the anti-cancer augmentation compds. and formulations; and (iv) methods for using the anticancer augmentation compds., formulations, and devices to treat subjects in need thereof. Compds. of the invention include dithio compds.

L7 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1357176 CAPLUS <<LOGINID::20080913>>

DN 146:100684

TI Pyrazole derivatives as protein kinase modulators, their preparation, pharmaceutical compositions, and use in therapy

IN Thompson, Neil Thomas; Boyle, Robert George; Collins, Ian; Garrett, Michelle Dawn; Lyons, John Francis; Thompson, Kyla Merriom

PA Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited

SO PCT Int. Appl., 226pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006136837	A2	20061228	WO 2006-GB2297	20060621
	WO 2006136837	A3	20070215		
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	EP 1933832	A2	20080625	EP 2006-755597	20060621
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRAI	US 2005-693309P	P	20050623		
	US 2005-693314P	P	20050623		
	US 2005-693315P	P	20050623		
	US 2005-693367P	P	20050623		
	US 2005-693492P	P	20050623		
	WO 2006-GB2297	W	20060621		
OS	MARPAT 146:100684				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrazole derivs. of formula I, which are modulators of protein kinase B (PKB) and protein kinase A (PKA). In compds. I, L is C1-7 alkylene containing no more than 5 carbon atoms between R1 and NR2R3 and no more than 4 carbon atoms between E and NR2R3, where one of the carbon atoms may be replaced by O or N; E is mono- or bicyclic carbocyclyl or heterocyclyl; R1 is aryl or heteroaryl; R2 and R3 are independently selected from H, (un)substituted C1-4 alkyl, and (un)substituted C1-4 acyl, or R2 and R3, together with the nitrogen atom to which they are attached, form a cyclic group selected from imidazole and 4- to 7-membered monocyclic heterocyclyl, optionally containing another heteroatom selected from O and N, or NR2R3 and the adjacent carbon atom from L together form a cyano group; R4 is selected from H, halo, cyano, CF3, C1-5 alkyl, and C1-5 alkoxy; and R5 is selected from H, halo, cyano, amino, CF3, C1-5 alkyl, C1-5 alkoxy, (un)substituted carbamoyl, acylamino, and ureido. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prophylaxis of diseases or conditions mediated by PKB or PKA. Also provided are patient packs, pharmaceutical kits and packs and compns. containing the combinations, methods for preparing the combinations and their

use

in combination therapy as anticancer agents. Addition of 4-chlorophenylmagnesium bromide to 4-bromobenzaldehyde followed by coupling with N-(2-hydroxyethyl)phthalimide gave benzhydryl ether II, which underwent Suzuki coupling with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole and cleavage to give amine III. Several compds. of the invention express IC50 values of less than 1 μ M to PKA or PKB, or both, e.g., III.

L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:275458 CAPLUS <<LOGINID::20080913>>

DN 144:325290

TI GLP-1 and exendin derivatives for disease diagnosis and treatment

IN Gotthardt, Martin; Behe, Martin; Behr, Thomas; Goeke, Burkhard J.

PA Transmit Gesellschaft fuer Technologietransfer m.b.H., Germany

SO Ger. Offen., 17 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 102004043153	A1	20060323	DE 2004-102004043153	20040903
	AU 2005279537	A1	20060309	AU 2005-279537	20050826
	CA 2578252	A1	20060309	CA 2005-2578252	20050826
	WO 2006024275	A2	20060309	WO 2005-DE1503	20050826
	WO 2006024275	A3	20061130		
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EP 1784422 A2 20070516 EP 2005-778889 20050826
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU
CN 101010340 A 20070801 CN 2005-80029760 20050826
JP 2008511557 T 20080417 JP 2007-528593 20050826
BR 2005015624 A 20080729 BR 2005-15624 20050826
MX 200702455 A 20071010 MX 2007-2455 20070228
IN 2007KN01064 A 20070713 IN 2007-KN1064 20070326
NO 2007001592 A 20070529 NO 2007-1592 20070327
KR 2007093960 A 20070919 KR 2007-707577 20070402
PRAI DE 2004-102004043153 A 20040903
WO 2005-DE1503 W 20050826
AB GLP-1 (glucagon-like peptide 1) and exendin-3 and/or exendin-4 derivs. are disclosed which may be used for disease diagnosis and treatment. The peptides, or fragments thereof, are conjugated to an amine-containing compound at the C-terminus, e.g., lysine or ornithine. The amine function is used to attach a desired functional moiety, e.g, a metal chelator, a fluorophore, a pharmaceutical. The C-terminal conjugation does not inhibit binding of the GLP-1 or exendins to their receptors. Thus, a complex of In111 with 7-36-GLP-1-Lys(DTPA)NH₂, i.e., residues 7-36 of human GLP-1 with L-lysineamide attached to the C-terminus and the chelator DTPA attached to the ε-amino group of lysine, was prepared This complex localized to GLP-1 receptor-bearing tumors in mice.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:979631 CAPLUS <<LOGINID::20080913>>
DN 143:267122
TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
IN Naidu, Ragina
PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005082875 A2 20050909 WO 2005-US5953 20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

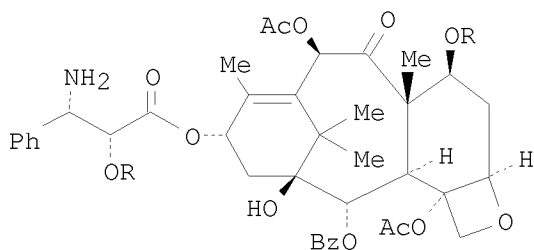
US 20050192445	A1	20050901	US 2004-790622	20040301
CA 2598707	A1	20050909	CA 2005-2598707	20050224
EP 1732911	A2	20061220	EP 2005-723708	20050224

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

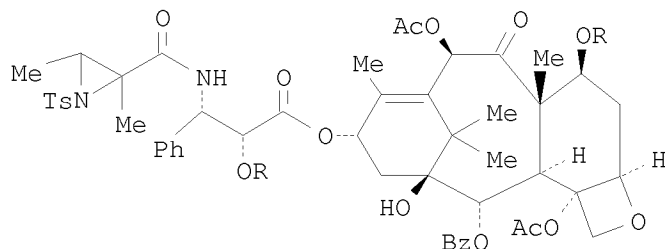
CN 1942458	A	20070404	CN 2005-80008412	20050224
US 20080033189	A1	20080207	US 2007-590647	20070720

PRAI US 2004-785422 A 20040224
US 2004-790622 A 20040301
WO 2005-US5953 W 20050224

OS CASREACT 143:267122; MARPAT 143:267122
GI



I



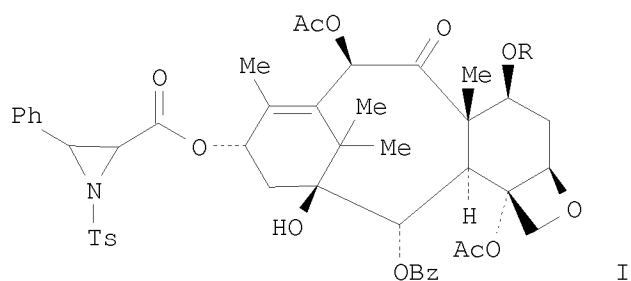
II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process

comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:963841 CAPLUS <<LOGINID::20080913>>
 DN 143:248536
 TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.
 SO U.S. Pat. Appl. Publ., 22 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050192445	A1	20050901	US 2004-790622	20040301
	CA 2598707	A1	20050909	CA 2005-2598707	20050224
	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
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	EP 1732911	A2	20061220	EP 2005-723708	20050224
	R:				
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	CN 1942458	A	20070404	CN 2005-80008412	20050224
	US 20070027331	A1	20070201	US 2006-372476	20060309
	US 20080033189	A1	20080207	US 2007-590647	20070720
PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:248536; MARPAT 143:248536				
GI					



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:256023 CAPLUS <<LOGINID::20080913>>

DN 136:299699

TI Emulsion vehicle for poorly soluble drugs

IN Constantinides, Panayiotis P.; Lambert, Karel J.; Tustian, Alexander K.; Nienstedt, Andrew M.; Hartgraves, Greg A.

PA Sonus Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026208	A2	20020404	WO 2001-US30471	20010927
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001093177	A	20020408	AU 2001-93177	20010927
PRAI	US 2000-670627	A1	20000927		
	WO 2001-US30471	W	20010927		

AB Pharmaceutical compns. contain one or more therapeutics or chemotherapeutics, one or more tocols as a solvent, a surfactant, and optionally a co-solvent. An example was given in which paclitaxel was solubilized with α -tocopherol.

=> d
 L1 HAS NO ANSWERS
 L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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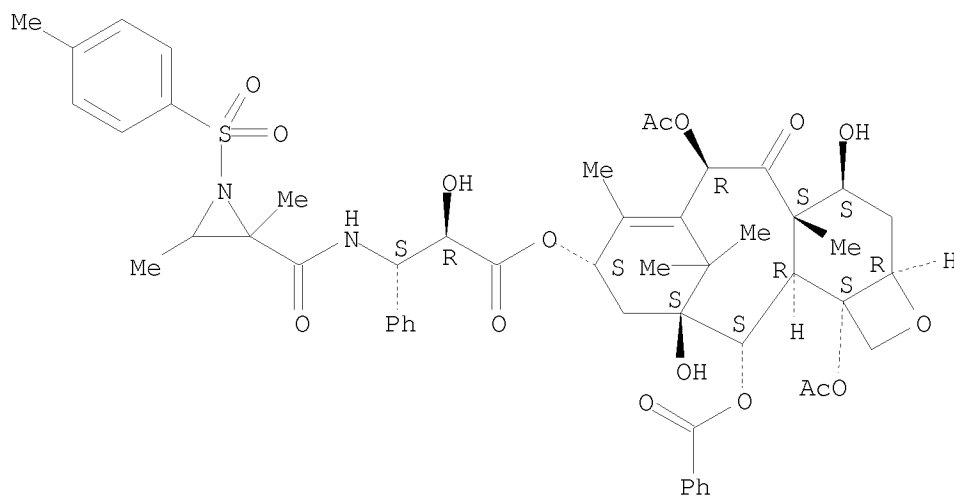
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L2 1 SEA SSS FUL L1

=> d

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
 RN 863203-44-5 REGISTRY
 ED Entered STN: 15 Sep 2005
 CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (α R, β S)- (CA INDEX NAME)
 FS STEREOSEARCH
 MF C52 H60 N2 O16 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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 COST IN U.S. DOLLARS
 FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12
 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 12
 L3 2 L2

=> d 1-2 bib abs hitstr

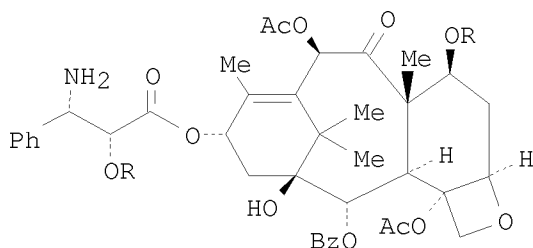
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:979631 CAPLUS
 DN 143:267122
 TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2005082875	A2	20050909	WO 2005-US5953	20050224

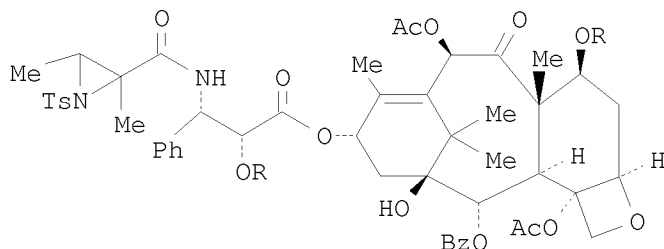
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US 20050192445 A1 20050901 US 2004-790622 20040301
 CA 2598707 A1 20050909 CA 2005-2598707 20050224
 EP 1732911 A2 20061220 EP 2005-723708 20050224
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
 CN 1942458 A 20070404 CN 2005-80008412 20050224
 US 20080033189 A1 20080207 US 2007-590647 20070720
 PRAI US 2004-785422 A 20040224
 US 2004-790622 A 20040301
 WO 2005-US5953 W 20050224

OS CASREACT 143:267122; MARPAT 143:267122
 GI



I



II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates

and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

IT 863203-44-5DP, 7,2'-O-derivs.

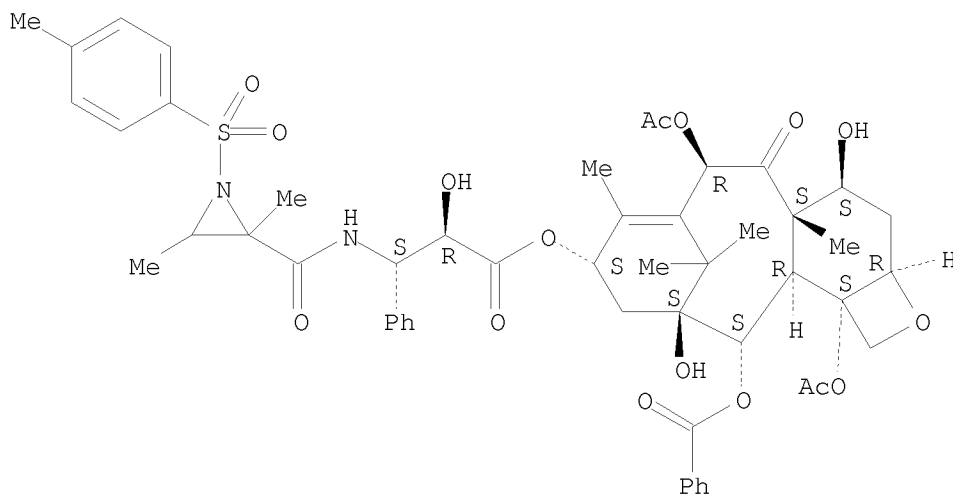
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and basic hydrolysis of; semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS

CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:963841 CAPLUS

DN 143:248536

TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.

SO U.S. Pat. Appl. Publ., 22 pp.

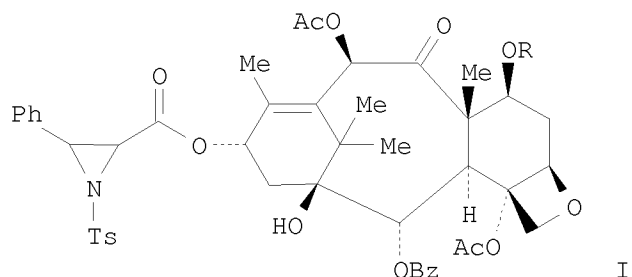
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

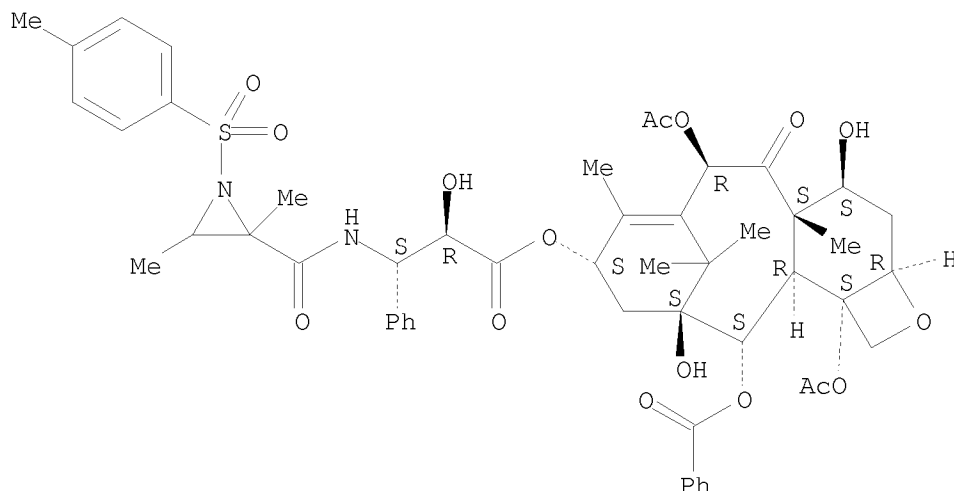
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	CA 2598707	A1	20050909	CA 2005-2598707	20050224
	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1732911	A2	20061220	EP 2005-723708	20050224
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 1942458	A	20070404	CN 2005-80008412	20050224
	US 20070027331	A1	20070201	US 2006-372476	20060309
	US 20080033189	A1	20080207	US 2007-590647	20070720
PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:248536; MARPAT 143:248536				
GI					



AB	A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).				
IT	863203-44-5DP, protected				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)				
	(semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)				
RN	863203-44-5 CAPLUS				
CN	Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl				

ester, (α R, β S)- (CA INDEX NAME)

Absolute stereochemistry.



=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
11.86	192.43

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.60	-1.60

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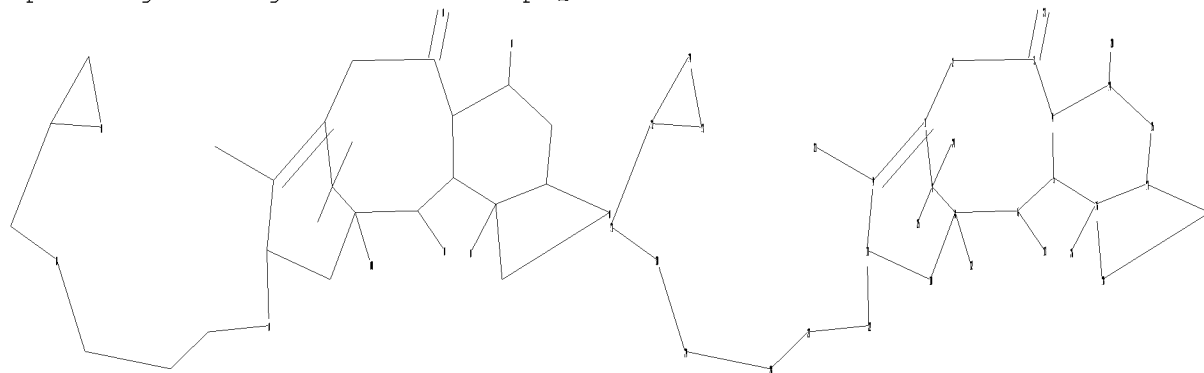
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<http://www.cas.org/support/stngen/stdoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\rkc647b.str



chain nodes :

12 13 20 21 22 23 24 25 26 27 28 29 30 31

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19 32 33 34

chain bonds :

3-23 6-13 7-24 7-25 8-12 9-21 11-22 14-20 16-26 22-27 27-28 28-29 29-30
30-31 31-32

ring bonds :

1-2 1-7 1-9 2-3 3-4 4-5 4-14 5-6 5-16 6-8 7-8 8-10 9-11 10-11 14-15
15-17 16-17 16-18 17-19 18-19 32-33 32-34 33-34

exact/norm bonds :

1-2 1-7 1-9 2-3 3-4 3-23 4-5 4-14 5-6 5-16 6-8 6-13 7-8 8-10 8-12
9-11 10-11 11-22 14-15 14-20 15-17 16-17 16-18 16-26 17-19 18-19 22-27
29-30 30-31 32-33 32-34 33-34

exact bonds :

7-24 7-25 9-21 27-28 28-29 31-32

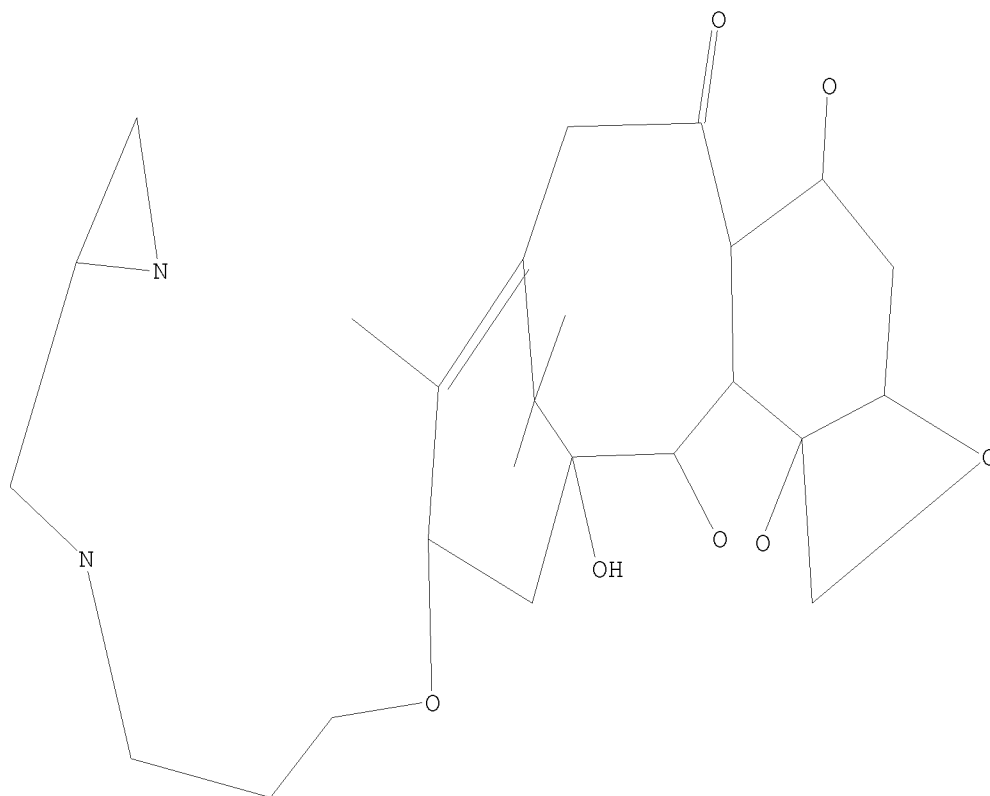
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L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 48 TO ITERATE

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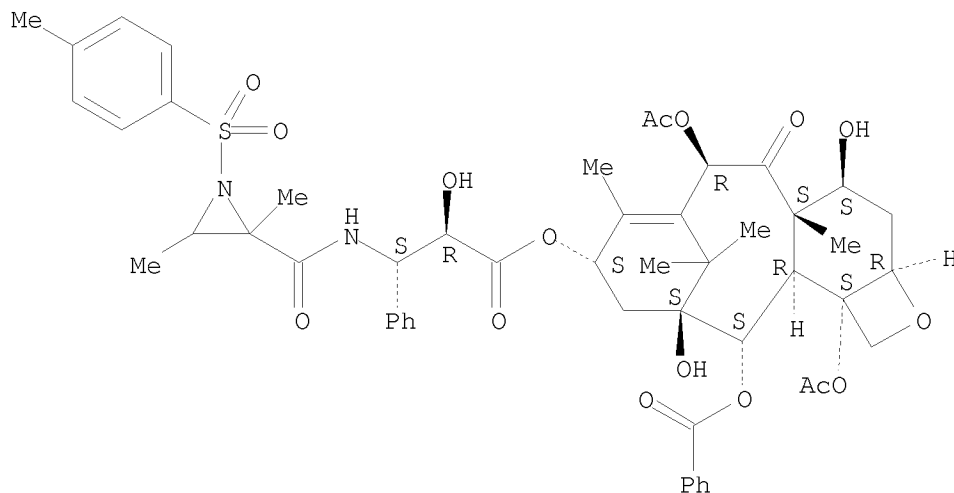
L5 1 SEA SSS FUL L4

=> d

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN 863203-44-5 REGISTRY
ED Entered STN: 15 Sep 2005
CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
ester, (α R, β S)- (CA INDEX NAME)

FS STEREOSEARCH
 MF C52 H60 N2 O16 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
180.82	373.25

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-1.60

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12
 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

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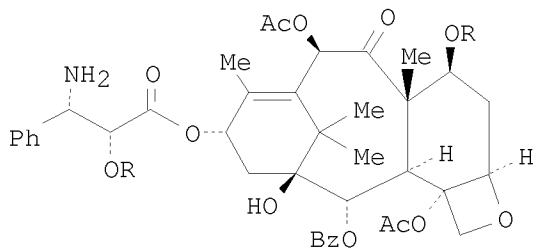
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L6 2 L5

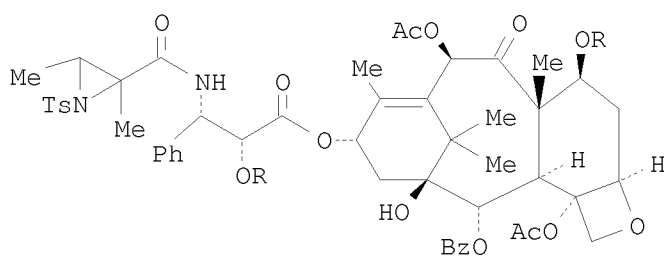
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L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:979631 CAPLUS
 DN 143:267122
 TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
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	CA 2598707	A1	20050909	CA 2005-2598707	20050224
	EP 1732911	A2	20061220	EP 2005-723708	20050224
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	US 20080033189	A1	20080207	US 2007-590647	20070720
PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:267122; MARPAT 143:267122				
GI					



I



II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:963841 CAPLUS

DN 143:248536

TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.

SO U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

PATENT NO.

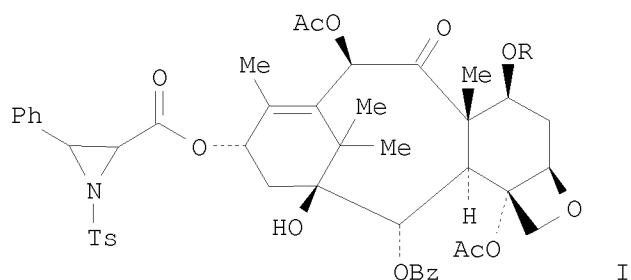
KIND

DATE

APPLICATION NO.

DATE

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 CA 2598707 A1 20050909 CA 2005-2598707 20050224
 WO 2005082875 A2 20050909 WO 2005-US5953 20050224
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 US 20070027331 A1 20070201 US 2006-372476 20060309
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 PRAI US 2004-785422 A 20040224
 US 2004-790622 A 20040301
 WO 2005-US5953 W 20050224
 OS CASREACT 143:248536; MARPAT 143:248536
 GI



AB A process is provided for the semi-synthesis of taxane intermediates and
 aziridine analogs (e.g. formula I [R = H, protecting group]) of
 cephalomannine and baccatin III intermediates, and the conversion of such
 intermediates and analogs to paclitaxel and docetaxel (no data).

=> s taxane and aziridine
 3442 TAXANE
 9474 AZIRIDINE
 L7 6 TAXANE AND AZIRIDINE

=> d 1-6 bib abs hitstr

L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2007:1053651 CAPLUS
 DN 147:378345
 TI Anticancer activity augmentation dithio compounds, formulations, and

methods of use
 IN Hausheer, Frederick H.
 PA Bionumerik Pharmaceuticals, Inc., USA
 SO U.S. Pat. Appl. Publ., 35pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2007109184	A2	20070927	WO 2007-US6725	20070316
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI US 2006-782826P P 20060316

AB The field of the invention comprises pharmaceuticals and pharmaceutical treatments, including e.g. (i) compds. and formulations which cause the augmentation of anticancer activity (i.e., by enhancement of the lethal cytotoxic action in stimulatory [inducing oxidative stress] and/or depletive [decreasing antioxidative capacity] manner) of chemotherapeutic agents, in a selective manner; (ii) methods for administering the anticancer augmentation compds. and formulations; (iii) delivery devices containing the anti-cancer augmentation compds. and formulations; and (iv) methods for using the anticancer augmentation compds., formulations, and devices to treat subjects in need thereof. Compds. of the invention include dithio compds.

L7 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1357176 CAPLUS

DN 146:100684

TI Pyrazole derivatives as protein kinase modulators, their preparation, pharmaceutical compositions, and use in therapy

IN Thompson, Neil Thomas; Boyle, Robert George; Collins, Ian; Garrett, Michelle Dawn; Lyons, John Francis; Thompson, Kyla Merriom

PA Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited

SO PCT Int. Appl., 226pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2006136837	A3	20070215		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1933832 A2 20080625 EP 2006-755597 20060621

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRAI US 2005-693309P P 20050623

US 2005-693314P P 20050623

US 2005-693315P P 20050623

US 2005-693367P P 20050623

US 2005-693492P P 20050623

WO 2006-GB2297 W 20060621

OS MARPAT 146:100684

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrazole derivs. of formula I, which are modulators of protein kinase B (PKB) and protein kinase A (PKA). In compds. I, L is C1-7 alkylene containing no more than 5 carbon atoms between R1 and NR2R3 and no more than 4 carbon atoms between E and NR2R3, where one of the carbon atoms may be replaced by O or N; E is mono- or bicyclic carbocyclyl or heterocyclyl; R1 is aryl or heteroaryl; R2 and R3 are independently selected from H, (un)substituted C1-4 alkyl, and (un)substituted C1-4 acyl, or R2 and R3, together with the nitrogen atom to which they are attached, form a cyclic group selected from imidazole and 4- to 7-membered monocyclic heterocyclyl, optionally containing another heteroatom selected from O and N, or NR2R3 and the adjacent carbon atom from L together form a cyano group; R4 is selected from H, halo, cyano, CF3, C1-5 alkyl, and C1-5 alkoxy; and R5 is selected from H, halo, cyano, amino, CF3, C1-5 alkyl, C1-5 alkoxy, (un)substituted carbamoyl, acylamino, and ureido. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prophylaxis of diseases or conditions mediated by PKB or PKA. Also provided are patient packs, pharmaceutical kits and packs and compns. containing the combinations, methods for preparing the combinations and their use in combination therapy as anticancer agents. Addition of 4-chlorophenylmagnesium bromide to 4-bromobenzaldehyde followed by coupling with N-(2-hydroxyethyl)phthalimide gave benzhydryl ether II, which underwent Suzuki coupling with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole and cleavage to give amine III. Several compds. of the invention express IC50 values of less than 1 μ M to PKA or PKB, or both, e.g., III.

L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:275458 CAPLUS
 DN 144:325290
 TI GLP-1 and exendin derivatives for disease diagnosis and treatment
 IN Gotthardt, Martin; Behe, Martin; Behr, Thomas; Goeke, Burkhard J.
 PA Transmit Gesellschaft fuer Technologietransfer m.b.H., Germany
 SO Ger. Offen., 17 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004043153	A1	20060323	DE 2004-102004043153	20040903
	AU 2005279537	A1	20060309	AU 2005-279537	20050826
	CA 2578252	A1	20060309	CA 2005-2578252	20050826
	WO 2006024275	A2	20060309	WO 2005-DE1503	20050826
	WO 2006024275	A3	20061130		
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	EP 1784422	A2	20070516	EP 2005-778889	20050826
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	CN 101010340	A	20070801	CN 2005-80029760	20050826
	JP 2008511557	T	20080417	JP 2007-528593	20050826
	BR 2005015624	A	20080729	BR 2005-15624	20050826
	MX 200702455	A	20071010	MX 2007-2455	20070228
	IN 2007KN01064	A	20070713	IN 2007-KN1064	20070326
	NO 2007001592	A	20070529	NO 2007-1592	20070327
	KR 2007093960	A	20070919	KR 2007-707577	20070402
PRAI	DE 2004-102004043153	A	20040903		
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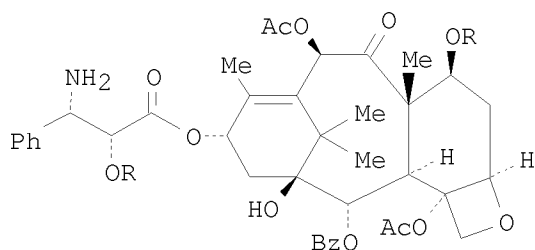
AB GLP-1 (glucagon-like peptide 1) and exendin-3 and/or exendin-4 derivs. are disclosed which may be used for disease diagnosis and treatment. The peptides, or fragments thereof, are conjugated to an amine-containing compound at the C-terminus, e.g., lysine or ornithine. The amine function is used to attach a desired functional moiety, e.g., a metal chelator, a fluorophore, a pharmaceutical. The C-terminal conjugation does not inhibit binding of the GLP-1 or exendins to their receptors. Thus, a complex of In111 with 7-36-GLP-1-Lys(DTPA)NH₂, i.e., residues 7-36 of human GLP-1 with L-lysineamide attached to the C-terminus and the chelator DTPA attached to the ε-amino group of lysine, was prepared. This complex localized to GLP-1 receptor-bearing tumors in mice.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

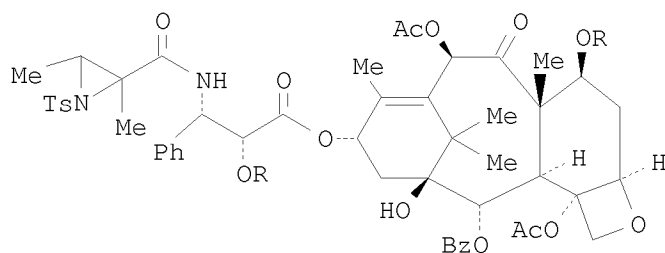
L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:979631 CAPLUS
 DN 143:267122
 TI Semi-synthesis of taxane intermediates and aziridine
 analogs and their conversion to paclitaxel and docetaxel
 IN Naidu, Ragina
 PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082875	A2	20050909	WO 2005-US5953	20050224
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	CA 2598707	A1	20050909	CA 2005-2598707	20050224
	EP 1732911	A2	20061220	EP 2005-723708	20050224
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	CN 1942458	A	20070404	CN 2005-80008412	20050224
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PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:267122; MARPAT 143:267122				
GI					



I



II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:963841 CAPLUS

DN 143:248536

TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.

SO U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

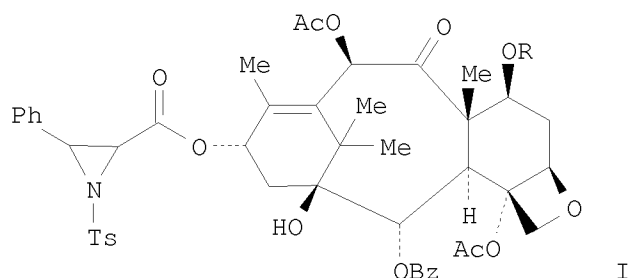
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 20050192445	A1	20050901	US 2004-790622	20040301

CA 2598707 A1 20050909 CA 2005-2598707 20050224
 WO 2005082875 A2 20050909 WO 2005-US5953 20050224
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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
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 EP 1732911 A2 20061220 EP 2005-723708 20050224
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
 CN 1942458 A 20070404 CN 2005-80008412 20050224
 US 20070027331 A1 20070201 US 2006-372476 20060309
 US 20080033189 A1 20080207 US 2007-590647 20070720
 PRAI US 2004-785422 A 20040224
 US 2004-790622 A 20040301
 WO 2005-US5953 W 20050224
 OS CASREACT 143:248536; MARPAT 143:248536
 GI



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:256023 CAPLUS
 DN 136:299699
 TI Emulsion vehicle for poorly soluble drugs
 IN Constantinides, Panayiotis P.; Lambert, Karel J.; Tustian, Alexander K.;
 Nienstedt, Andrew M.; Hartgraves, Greg A.
 PA Sonus Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026208	A2	20020404	WO 2001-US30471	20010927
	WO 2002026208	A3	20030123		
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	AU 2001093177	A	20020408	AU 2001-93177	20010927
PRAI	US 2000-670627	A1	20000927		
	WO 2001-US30471	W	20010927		

AB Pharmaceutical compns. contain one or more therapeutics or chemotherapeutics, one or more tocols as a solvent, a surfactant, and optionally a co-solvent. An example was given in which paclitaxel was solubilized with α -tocopherol.

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CA SUBSCRIBER PRICE	-6.40	-8.00

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FILE 'CAPLUS' ENTERED AT 12:02:46 ON 13 SEP 2008
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FULL ESTIMATED COST	ENTRY	SESSION
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STRUCTURE FILE UPDATES: 12 SEP 2008 HIGHEST RN 1049105-01-2
 DICTIONARY FILE UPDATES: 12 SEP 2008 HIGHEST RN 1049105-01-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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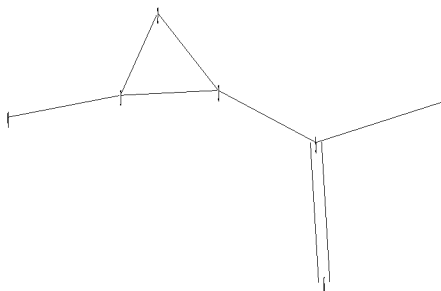
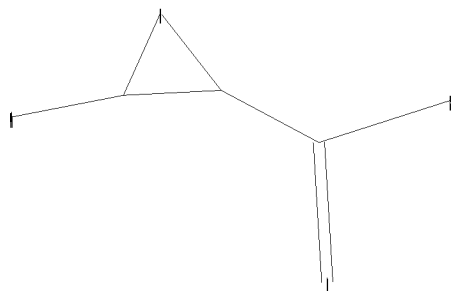
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REGISTRY includes numerically searchable data for experimental and
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :
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chain bonds :
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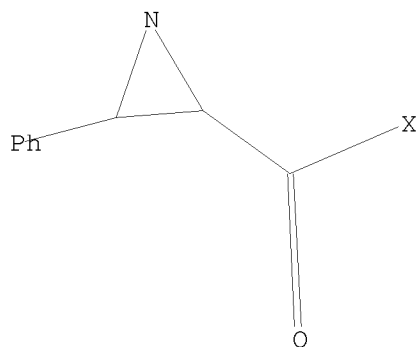
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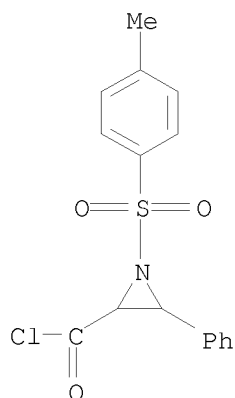
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L9      ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2008 ACS on STN
RN      863203-37-6  REGISTRY
ED      Entered STN:  15 Sep 2005

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CN 2-Aziridinecarbonyl chloride, 1-[(4-methylphenyl)sulfonyl]-3-phenyl- (CA
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 MF C16 H14 Cl N O3 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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ENTRY	SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

0.00	-8.00
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FILE 'CAPLUS' ENTERED AT 12:04:53 ON 13 SEP 2008

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12

FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 19

L10 2 L9

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L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:979631 CAPLUS

DN 143:267122

TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.

SO PCT Int. Appl., 37 pp.

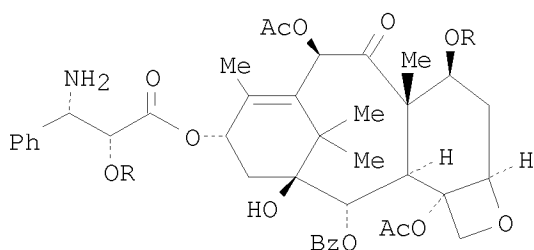
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DT Patent

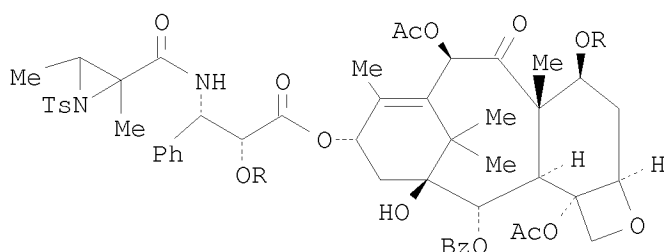
LA English

FAN.CNT 2

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PRAI	US 2004-785422	A	20040224		
	US 2004-790622	A	20040301		
	WO 2005-US5953	W	20050224		
OS	CASREACT 143:267122; MARPAT 143:267122				
GI					



I



II

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysis of the side chain.

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:963841 CAPLUS

DN 143:248536

TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.

SO U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

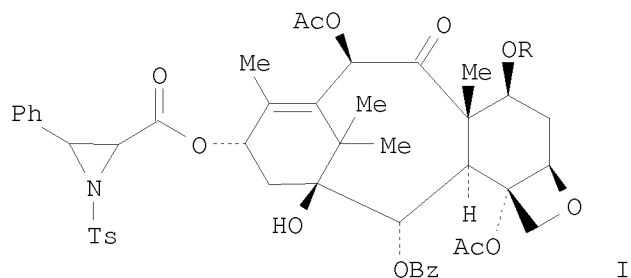
DT Patent

LA English

FAN.CNT 2

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	CA 2598707	A1	20050909	CA 2005-2598707	20050224

WO 2005082875 A2 20050909 WO 2005-US5953 20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG
EP 1732911 A2 20061220 EP 2005-723708 20050224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 1942458 A 20070404 CN 2005-80008412 20050224
US 20070027331 A1 20070201 US 2006-372476 20060309
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PRAI US 2004-785422 A 20040224
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WO 2005-US5953 W 20050224
OS CASREACT 143:248536; MARPAT 143:248536
GI



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

=>

=>

Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	6.30	594.15
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

CA SUBSCRIBER PRICE	ENTRY	SESSION
	-1.60	-9.60

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:05:39 ON 13 SEP 2008